

1 Y/F	2 X	3 L/M	4 L/M/A/I	5 X	6 G/A	7 X	8 Hydrophobic	9 P	10 F/Y
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Figure 1

1 Y	2 E	3 M	4 L/M/A	5 X	6 G	7 X	8 P	9 P	10 F
11 X	12 A/G	13 D/E/Q	14 D/E/Q/N	15 P/E	16 D/E/I	17 D/E/Q	18 I/L	19 Y/F	20 Q/E

Figure 2

SERINE\THREONINE KINASES

	1	2	3	4	5	6	7	8	9	10	11	12	13	14	15	16	17	18	19	20
RAF	Y	E	L	M	T	G	E	L	P	Y	S	H	I	N	N	R	D	Q	I	I
	F	Q	I	V	A	A	Q	I	F	A	N	L	D*	D*	X	D	E	L	L	
	W	E*	M	L	S		D	M	W	T	D	M	D	D		D*	E*	M	M	
	N	V	I				E*	V		Q	V	Q	Q			Q	N	V	V	
	D						D*				E	E	E			E	D			
	D*									E*		E*	E*			E*	D*			
CAPK	Y	E	M	A	A	G	Y	P	P	F	F	A	D	Q	P	I	Q	I	Y	
	F	Q	V	G	V	A	F		P	Y	Y	G	D	E	L	E	L	F	Q	
	W	E*	L	M	M	W			W	W	W		D*	E*	M	M	E*	M	W	
	D	I	I	L	L							Q	N	V	N	V	N	M	N	
	D*		I	I							E	D*	D*	D*	D	D*	D	D	D*	
	N										E*	D*								D*
PKC	Y	E	M	L	A	G	Q	P	P	F	D	G	E	D	E	D	E	L	F	
	F	Q	V	M	I	A	H	A	P	Y	E	A	D	N	Q	E	D	I	Y	
	W	E*	L	I	C	E	S		W	W	H		Q	Q	E*	Q	N	M	W	
	D	I	V	L	L	E*				Q	N		D*	N	D*	Q	N	V	H	
	D*		M	M	V					N	E*	E	E*	D	D*	D	D*	D	E*	
	N									D*	D*	D*	D*	D*	D*	E*	E*	E*		
βARK1.2	F	K	L	I	R	G	H	S	P	F	R	Q	H	K	T	K	D	K	H	
	Y	O	I	L	X	A		T		Y	X	E	O	O	S	O	N	O	Q	
	W	M	M	M	W					W	D	N	D	D			D*	N	D*	
	V	V	V								E*	E*					E*		E*	
											D*									
CaMK	Y	I	L	L	V	G	Y	P	P	F	W	D	E	D	Q	H	R	L	Y	
	F	L	I	I	L	A	F		P	Y	Y	N	Q	N	E	R	K	I	Q	
	W	M	M	M	M	W			W	W	F	D*	E*	D*	E*	K	X	M	W	
	V	V	V		C	I					Q	N	Q	D*	H	O	O	V	E*	
											E	D	E	N				D	D*	
											E*	D*	E*	D					N	

Figure 3A

	1	2	3	4	5	6	7	8	9	10	11	12	13	14	15	16	17	18	19	20
POLO	Y	T	L	L	V	G	K	P	P	F	E	T	S	C	L	K	E	T	Y	L
	F	S	M	I	L	A	R		Y	D	S	T	T	T	V	O	D	S	F	I
	W		I	M	I	O		W	Q		S	T	S	I	M	N	Q	W	V	M
			V	V	M	X			N					E*		E*				
														E*			D*			
Akt/ PKB	Y	E	M	M	C	G	R	L	P	F	Y	N	Q	D	H	E	R	L	F	E
	F	E*	L	L	S	A	X	M	W	W	Q	N		D*	K	E*	X	M	Y	E*
	W	D	I	I	T			I	Y	F				E	O	D	K	I	W	D
		D*	V	V				V						E*		D*	O	V	D	D*
GRK1	Y	E	M	I	A	A	R	G	P	F	R	A	R	G	E	K	V	E	N	K
	W	E*	I	M	G	G	X	A	W	X	G	X	A	E*	O	M	E*	Q	O	O
	F	D	L	L					Y						D	H	I	D	H	H
		D*	V	V											D*		L	D*		
GRK4	Y	E	M	I	Q	G	H	S	P	F	K	K	Y	K	E	K	V	K	W	E
	F	E*	I	L	N	A	K	T	W	W	O	O	F	O	E*	O	M	O	F	E*
	W	D	L	M		O			Y	H	H	W	H		D	H	I	H	Y	D
		D*	V	V											D*		L		D*	
GRK5	Y	E	M	I	E	G	Q	S	P	F	R	G	R	K	E	K	V	K	R	E
	F	E*	I	L	E*	A	N	T	W	X	A	X	K	O	E*	O	M	O	X	E*
	W	D	L	M	D				Y					H	D	H	I	H	O	D
		D*	V	V	D*										D*		L		D*	
GRK6	Y	E	M	I	A	G	Q	S	P	F	Q	Q	R	K	K	K	I	K	R	E
	F	E*	I	L	G	A	N	T	W	W	N	N	X	O	O	O	M	O	X	E*
	W	D	L	M					Y					H	H	H	H	V	H	D
		D*	V	V													L	D*	V	D*
GSK3	A	E	L	L	L	G	Q	P	I	F	P	G	D	S	G	V	D	Q	L	V
	G	E*	I	I	I	A	N		L	Y		A	D*	T	A	L	D*	N	I	L
	D	M	M	M					M	W			E			I	E	M	I	
		D*	V	V	V				V				E*		M	E*		V	M	

D* = a substituted or unsubstituted aliphatic, benzylic or aromatic ester of aspartic acid

E* = a substituted or unsubstituted aliphatic, benzylic or aromatic ester of glutamic acid

X = N-nitroarginine, β -cycloarginine, γ -hydroxyarginine, amidinocitroline or 2-amino-4-guanidinobutanoic acid

O = Ornithine

Figure 3B

RAE

HJ38	Ac-	V	M	T	G	Q	L	P	F	-NH ₂
J41	Ac-	V	M	T	G	E!	L	P	F	-NH ₂

POLO

J42	Ac-	M	L	L	G	R	P	P	F	E!	-NH ₂
J43	Ac-	M	L	L	G	K	P	P	F	NH ₂	
J43.1	Ac-	M	L	L	G	K	P	P	F	E!	-NH ₂
J45			Ac-	L	G	R	P	P	F	E!	T S
J46	Ac-	M	L	L	G	R	P	P	F	E!	T S

Akt/PKB

J47				Ac-	G	R	L	P	F	F	N	-NH ₂
J48	Ac-	E!	M	M	S	G	R	L	P	F	N	-NH ₂

GSK3

J29	Ac-	L	L	L	G	Q	P	I	F	P	G	-NH ₂
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E! - Benzyl Ester of Glutamic Acid

Figure 4

Collagen production in fetal lung fibroblasts
in the presence of increasing concentrations of
K048H101

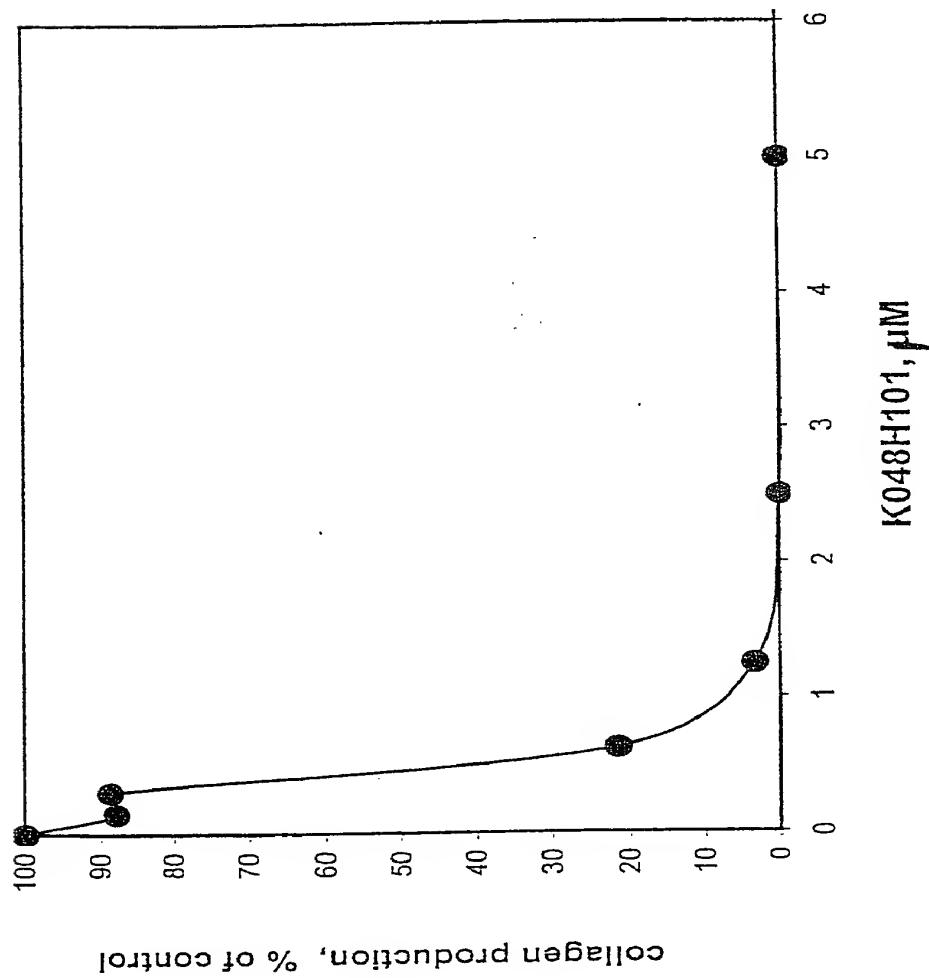


Figure 5

Activin/TGFbR
ACTRII

Peptide N_terminal		C_terminal	
K095H101	Myristyl - G	G P V D E Y M L P F	NH2

ALK1

Peptide N_terminal		C_terminal	
K048H101	Myristyl - G	G I V E D Y R P P F	NH2
K048H901	Stearyl - G	G I V E D Y R P P F	NH2

ALK3

Peptide N_terminal		C_terminal	
K098H101	Myristyl - G	G I V E E Y Q L P Y	NH2
K098H901	Stearyl - G	G I V E E Y Q L P Y	NH2

ALK4

Peptide N_terminal		C_terminal	
K099H101	Myristyl - G	G Q V H E E Y Q L P Y	NH2

TGFbRII

Peptide N_terminal		C_terminal	
K093H101	Myristyl - G	G E V K D Y E P P F	NH2

Akt/PKB
Akt1/Raca

Peptide N_terminal		C_terminal	
K014H101	Myristyl - G	M M S G R L P	NH2
K014H010	(Free NH2)	M C G R L P	NH2
K014H111	Myristyl - G	M M C G R L P	NH2

CAPK
cAPKa

Peptide N_terminal		C_terminal	
K004H001	Acetyl	M A A G Y P	NH2
K004H002	Acetyl	M A A G Y P P F F	NH2

CDK

Figure 6A

CDK2

	Peptide N_terminal		C_terminal
K049H101	Myristyl - G	M V T R R A L F	NH2

CDK4

	Peptide N_terminal		C_terminal
K050H101	Myristyl - G	M F R R K P L F	NH2

CHK

Chk1

	Peptide N_terminal		C_terminal
K088H001	Acetyl	M L A G E ! L P W D !	NH2
K088H101	Myristyl -G	M L A G E L P	NH2
K088H103	Myristyl - G	M L A G E L	NH2
K088H104	Myristyl - G	M L A G E L P W D	NH2

DAPK

DAPK

	Peptide N_terminal		C_terminal
K092H001	Acetyl	I L L S G A S P F L G	NH2

GRK

bARK1

	Peptide N_terminal		C_terminal
K024H101	Myristyl - G	L L R G H S	NH2

GSK3

GSK3b

	Peptide N_terminal		C_terminal
K018H101	Myristyl - G	L L L G Q P I	NH2

IAK

Iak1

	Peptide N_terminal		C_terminal
K087H001	Acetyl	F L V G M P P F	NH2
K087H101	Myristyl -G	F L V G M P P	NH2
K087H102	Myristyl -G	F L V G M P	NH2

Figure 6B

K087H103 Myristyl -G F L V G M P P F E NH2

IKK
IKK-1

Peptide N_terminal		C_terminal	
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K090H101	Myristyl - G	I A G Y R P F L	NH2
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IKK-2

Peptide N_terminal		C_terminal	
--------------------	--	------------	--

K091H001	Acetyl	I T G F R P F L	NH2
K091H101	Myristyl -G	I T G F R P F L	NH2

ILK
ILK

Peptide N_terminal		C_terminal	
--------------------	--	------------	--

K107H001	Acetyl	L V T R E! V	NH2
K107H101	Myristyl -G	L V T R E V P F	NH2
K107H102	Myristyl - G	L V T R E V	NH2
K107H901	Stearyl - G	L V T R E V P F	NH2

MARK/p78
MARK1

Peptide N_terminal		C_terminal	
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K045H101	Myristyl -G	L V S G S	NH2
K045H102	Myristyl -G	L V S G S L P	NH2

PKC
PKC_b

Peptide N_terminal		C_terminal	
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K008H001	Acetyl	M L A G Q A P F	NH2
K008H101	Myristyl -G	M L A G Q A P	NH2
K008H102	Myristyl -G	M L A G Q A	NH2
K008H103	Myristyl -G	M L A G Q A P F E	NH2

Figure 6C

POLO
Plk

Peptide N_terminal		C_terminal
K035H001	Acetyl	L L V G K P P F
K035H101	Myristyl -G	L L V G K P P

SNK

Peptide N_terminal		C_terminal
K038H101	Myristyl -G	M L L G R P P F E!
K038H102	Myristyl -G	M L L G R P P

RAF
Braf

Peptide N_terminal		C_terminal
K003H103	Myristyl -G	L M T G Q L
K003H104	Myristyl -G	L M T G Q L P Y S

c-Raf

Peptide N_terminal		C_terminal
K001H102	Myristyl -G	L M T G E L
K001H103	Myristyl -G	L M T G E L P Y S

Figure 6D